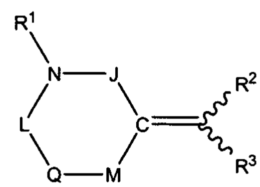
ABSTRACT OF THE DISCLOSURE

A method is described for identifying a compound that modulates the ability of a glycosyltransferase to bind a substrate comprising combining a glycosyltransferase, a labeled substrate, and a compound, in a reaction vessel, under conditions known to be suitable for the glycosyltransferase to bind the labeled substrate, measuring an amount of labeled substrate bound to the glycosyltransferase, and comparing the amount to a standardized amount to identify a relative increase or decrease in substrate bound glycosyltransferase, thereby identifying a compound that modulates the ability of the glycosyltransferase to bind the substrate. A composition comprising an effective amount of a compound of Formula I, or a stereoisomer, or pharmaceutically acceptable salt thereof, that inhibits the ability of a glycosyltransferase to bind a substrate, in a pharmaceutically acceptable carrier is provided,



(I)

wherein:

J is selected from C=O, S, NH, C=S, CH_2, CH R^1, and C R^1R^1;

M is selected from C=O, S, C=S, CH R^1, and C R^1R^1;

L is selected from C=O, NH, C=S, S, CH R^1, CR^1R^1 CHR^2, CR^2R^2, =N-, -C(=NR^1)-, and –C(R^1)=;

Q is absent or selected from –NH-, and –NR^1;

R^1, is selected from H, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, F, Cl, Br, I, NO_2, CN, $(CH_2)_rOH$, $(CH_2)_rSH$, $(CH_2)_rOR^{1d}$, $(CH_2)_rSR^{1d}$, $(CH_2)_rNR^{1a}R^{1a'}$, $(CH_2)_rC(O)OH$, $(CH_2)_rC(O)R^{1b}$, $(CH_2)_rC(O)NR^{1a}R^{1a'}$, $(CH_2)_rNR^{1a}C(O)R^{1a}$, $(CH_2)_rNR^{1a}C(O)H$, $(CH_2)_rNR^{1a}C(O)NHR^{1a}$, $(CH_2)_rC(O)OR^{1b}$, $(CH_2)_rOC(O)R^{1b}$, $(CH_2)_rOC(O)NHR^{1a}$, $(CH_2)_rS(O)_2OH$,

$(CH_2)_rS(O)_2NR^{1a}R^{1a'}$, $(CH_2)_rNR^{1a}S(O)_2R^{1b}$, C_{1-6} haloalkyl, a $(CH_2)_r$-C_{3-13} carbocyclic residue substituted with 0-5 R^{1c}, and a $(CH_2)_r$-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{1c};

R^{1a} and $R^{1a'}$, at each occurrence, are selected from H, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, a $(CH_2)_r$-C_{3-10} carbocyclic residue substituted with 0-5 R^{1e}, and a $(CH_2)_r$-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{1e};

R^{1b}, at each occurrence, is selected from C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, a $(CH_2)_r$-C_{3-6} carbocyclic residue substituted with 0-2 R^{1e}, and a $(CH_2)_r$-5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{1e};

R^{1c}, at each occurrence, is selected from C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, $(CH_2)_rC_{3-6}$ cycloalkyl, Cl, Br, I, F, $(CF_2)_rCF_3$, NO_2, CN, $(CH_2)_rNR^{1f}R^{1f}$, $(CH_2)_rOH$, $(CH_2)_rOC_{1-4}$ alkyl, $(CH_2)_rSC_{1-4}$ alkyl, $(CH_2)_rC(O)OH$, $(CH_2)_rC(O)R^{1b}$, $(CH_2)_rC(O)NR^{1f}R^{1f}$, $(CH_2)_rNR^{1f}C(O)R^{1a}$, $(CH_2)_rC(O)OC_{1-4}$ alkyl, $(CH_2)_rOC(O)R^{1b}$, $(CH_2)_rC(=NR^{1f})NR^{1f}R^{1f}$, $(CH_2)_rS(O)_pR^{1b}$, $(CH_2)_rNHC(=NR^{1f})NR^{1f}R^{1f}$, $(CH_2)_rS(O)_2NR^{1f}R^{1f}$, $(CH_2)_rNR^{1f}S(O)_2R^{1b}$, and $(CH_2)_r$phenyl substituted with 0-3 R^{1e};

R^{1d}, at each occurrence, is selected from C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, a C_{3-10} carbocyclic residue substituted with 0-3 R^{1c}, and a 5-6 membered heterocyclic system containing 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-3 R^{1c};

R^{1e}, at each occurrence, is selected from C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, $(CH_2)_rC_{3-6}$ cycloalkyl, Cl, F, Br, I, CN, NO_2, $(CF_2)_rCF_3$, $(CH_2)_rOC_{1-5}$ alkyl, OH, SH, $(CH_2)_rSC_{1-5}$ alkyl, $(CH_2)_rNR^{1f}R^{1f}$, and $(CH_2)_r$phenyl;

R^{1f}, at each occurrence, is selected from H, C_{1-6} alkyl, and C_{3-6} cycloalkyl;

$R2$ is selected from $(CH_2)_r$-C_{5-10} carbocyclic residue substituted with 0-7 R^{2a}, and a $(CH_2)_r$-5-10 membered heterocyclic system optionally containing C=O and 1-4 heteroatoms selected from N, O, and S, wherein the heterocyclic system is substituted with 0-7 R^{2a};

R^{2a}, at each occurrence, is selected from H, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, $(CH_2)_rC_{3-6}$ cycloalkyl, Cl, Br, I, F, $(CF_2)_rCF_3$, NO_2, CN, $(CH_2)_rOH$, $(CH_2)_rOC_{1-4}$ alkyl, $(CH_2)_rSC_{1-4}$ alkyl, $(CH_2)_rC(O)OH$, $(CH_2)_rC(O)R^{9b}$, $(CH_2)_rC(O)NR^{1f}R^{1f}$ and $(CH_2)_r$phenyl wherein the phenyl on the $(CH_2)_r$ phenyl is substituted with 0-5 substituents selected from F, Cl, Br, I, NO_2, C_{1-6} alkyl, OH, $(CH_2)_rC(O)OH$, $(CH_2)_rC(O)OC_{1-4}$ alkyl, $NR^{2b}R^{2b}$, and $(CH_2)_rS(O)_2NR^{2b}R^{2b}$.

R^{2b}, at each occurrence, is selected from H, C_{1-6} alkyl, and C_{3-6} cycloalkyl; and

R3 is selected from H;

alternatively R_2 and R_3 join to form a 5-10 membered heterocyclic system optionally containing C=O and 1-4 heteroatoms selected from N, O, and S, wherein the heterocyclic system is substituted with 0-7 R^{2a}.

A method of controlling the growth of bacteria is described which comprises applying an effective amount of a compound of Formula I to a site where control of the growth of bacteria is needed.

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